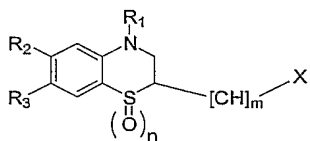


CLAIMS

1. A compound of formula (I)



(I)

or a pharmaceutically acceptable salt or ester thereof,
wherein

X is $-\text{CONHOH}$, $-\text{COOH}$ or $-\text{N(OH)CHO}$;

n is zero or an integer 1 or 2;

m is an integer 1, 2, 3 or 4;

R_1 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{3-10} cycloalkyl, C_{1-6} alkyl- C_{3-10} cycloalkyl, C_{3-7} heterocycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylamino, C_{1-6} alkylmercapto, C_{1-6} alkylhydroxy, thio C_{1-6} alkyl, alkylamino- C_{1-6} alkyl, dialkylamino- C_{1-6} alkyl, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C_{1-6} alkylaryl group, and an unsubstituted or substituted C_{1-6} alkylheteroaryl group; wherein a substituted group is substituted with one, two or three substituents independently selected from halogen, hydroxy, amino, mercapto, nitro, cyano, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkoxy and thio C_{1-6} alkyl;

one of R_2 and R_3 is selected from the group consisting of halogen, hydrogen, carboxylic acid, $-\text{CONR}_4\text{R}_5$ and $-\text{CONHR}_5$, in which R_4 and R_5 are identical or different and independently of each other are selected from the group consisting of C_{3-7} heterocycloalkyl, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C_{1-6} alkylaryl group, an unsubstituted or substituted C_{1-6} alkylheteroaryl group and an unsubstituted or substituted C_{1-6} alkyl- C_{3-7} heterocycloalkyl group; wherein a substituted group is substituted with one, two or three substituents independently selected from halogen, hydroxy, amino, mercapto, nitro, cyano, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkoxy, thio C_{1-6} alkyl, C_{1-6} alkylhydroxy, C_{1-6} alkylamino, alkylamino- C_{1-6} alkyl and dialkylamino- C_{1-6} alkyl; and

the other of R_2 and R_3 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{3-10} cycloalkyl, C_{1-6} alkyl- C_{3-10} cycloalkyl, C_{3-7} heterocycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylamino, C_{1-6} alkylmercapto, C_{1-6} alkylhydroxy, thio C_{1-6} alkyl, alkylamino- C_{1-6} alkyl,

- dialkylamino-C₁₋₆alkyl, an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group, and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group; wherein a substituted group is substituted with one, two or three substituents independently selected from halogen, hydroxy, amino, mercapto, nitro, cyano, trifluoromethyl, C₁₋₆ alkyl, C₁₋₆ alkoxy and thioC₁₋₆ alkyl.
2. A compound according to claim 1, wherein X is -CONHOH.
3. A compound according to claim 1, wherein X is -COOH.
4. A compound according to claim 1, wherein X is -N(OH)CHO.
5. A compound according to any of the preceding claims, wherein R₁ is selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ alkyl-C₃₋₁₀ cycloalkyl, C₁₋₆ alkylamino, C₁₋₆ alkylhydroxy, an unsubstituted or substituted C₁₋₆ alkylaryl group, and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group.
6. A compound according to any of the preceding claims, wherein R₁ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, butyl, pentyl, methyl cyclopropyl, methyl cyclobutyl, methyl cyclopentyl, methyl cyclohexyl, ethyl cyclohexyl, ethylamino, propylamino, butylamino, methylhydroxy, ethylhydroxy, propylhydroxy, butylhydroxy, benzyl, fluorosubstituted benzyl, chlorosubstituted benzyl, and bromo substituted benzyl.
7. A compound according to any of the preceding claims, wherein R₁ is selected from the group consisting of hydrogen, ethyl, propyl, butyl, methyl cyclopropyl, methyl cyclobutyl, methyl cyclopentyl, methyl cyclohexyl, benzyl, and 3-fluorobenzyl.
8. A compound according to any of the preceding claims, wherein one of R₂ and R₃ is hydrogen, fluorine, chlorine, bromine, iodine or carboxylic acid.
9. A compound according to any of claims 1-7, wherein one of R₂ and R₃ is -CONHR₅ or -CONR₄R₅.
10. A compound according to any of claims 1-7, wherein one of R₂ and R₃ is hydrogen or C₃₋₇ heterocycloalkyl, an unsubstituted or substituted aryl group, an unsubstituted or substituted

heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group, and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group.

11. A compound according to any of the preceding claims, wherein R₄ or R₅ is C₃₋₇

5 heterocycloalkyl, C₁₋₆ alkyl-C₃₋₇ heterocycloalkyl, heteroaryl, or C₁₋₆ alkylheteroaryl having one or more heteroatoms selected from N, O, and S.

12. A compound according to any of claims 1-10, wherein R₄ or R₅ is an unsubstituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted C₁₋₆ alkylaryl group, and an unsubstituted or substituted C₁₋₆ alkylheteroaryl group.

13. A compound according to any of claims 1-10, 12, wherein R₄ or R₅ is selected from a group consisting of benzyl; mono-, di-, or tri-fluoro-substituted benzyl, mono-, di-, or tri-bromo-substituted benzyl, methoxy substituted benzyl, trifluoromethyl substituted benzyl, trifluoromethoxy substituted benzyl, dimethylamino substituted benzyl, nitro substituted benzyl, 5-thiophen-2-yl-2H-pyrazol-3-yl, 8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl, methylpyridyl, methyl-2-thienyl, 3-pyrazolyl, 2-thiazolyl, 4-methyl-1-piperazinyl.

14. A compound according to any of the preceding claims, wherein R₃ is selected from a group consisting of hydrogen and 1-piperazinyl.

15. A compound according to any claim 1 selected from the group consisting of
2-(3,4-Dihydro-2H-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(1,1-Dioxo-1,2,3,4-tetrahydro-1 λ⁶-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(4-Ethyl-3,4-dihydro-2H-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(4-Ethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ⁶-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

N-Hydroxy-2-(4-propyl-3,4-dihydro-2H-benzo[1,4]thiazin-2-yl)-acetamide

2-(1,1-Dioxo-4-propyl-1,2,3,4-tetrahydro-1 λ⁶-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(4-Butyl-3,4-dihydro-2H-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(4-Butyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ⁶-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(4-Benzyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ⁶-benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-[4-(3-Fluoro-benzyl)-1,1-dioxo-1,2,3,4-tetrahydro-1 λ⁶-benzo[1,4]thiazin-2-yl]-N-hydroxy-acetamide

- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 2-fluoro-benzylamide
- 5 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 3-fluoro-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-fluoro-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 2-bromo-benzylamide
- 10 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 3-bromo-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-bromo-benzylamide
- 15 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 2-nitro-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 3-nitro-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-nitro-benzylamide
- 20 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 2-methoxy-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 3-methoxy-benzylamide
- 25 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-methoxy-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 3-trifluoromethyl-benzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-trifluoromethyl-benzylamide
- 30 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-trifluoromethoxybenzylamide
- 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid 4-dimethylaminobenzylamide

4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid (pyridin-4-ylmethyl)-amide

4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid (thiophen-2-ylmethyl)-amide

5 4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid (1H-pyrazol-3-yl)-amide

4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid thiazol-2-ylamide

2-[4-Ethyl-6-(4-methyl-piperazine-1-carbonyl)-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -

10 benzo[1,4]thiazin-2-yl]-N-hydroxy-acetamide

4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid (5-thiophen-2-yl-2H-pyrazol-3-yl)-amide

4-Ethyl-2-hydroxycarbamoylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazine-6-carboxylic acid (8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-amide

15 2-(4-Cyclopropylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

2-(4-Cyclobutylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide

20 2-(4-Cyclopentylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide, and

2-(4-Cyclohexylmethyl-1,1-dioxo-1,2,3,4-tetrahydro-1 λ^6 -benzo[1,4]thiazin-2-yl)-N-hydroxy-acetamide.

16. A compound according to any of the preceding claims, which in the PDF assay exhibits
25 an IC₅₀ value of less than 500 μ M, preferably less than 100 μ M, more preferably less than 50 μ M, even more preferably less than 1 μ M, especially less than 500 nM, particularly less than 100 nM.

17. A compound according to any of the preceding claims for use in medicine.

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18. A compound according to any of the preceding claims for use as a protease inhibitor.

19. A compound according to claim 17 for use as a peptide deformylase inhibitor.

20. A compound according to any of the preceding claims for use in the treatment, prophylaxis and/or diagnosis of bacterial infections fully or partly caused by an organism belonging to any of the genera *Staphylococcus*, *Enterococcus*, *Streptococcus*, *Haemophilus*, *Moraxella*, *Escherichia*, *Mycobacterium*, *Mycoplasma*, *Pseudomonas*, *Chlamydia*, *Rickettsia*,
5 *Klebsiella*, *Shigella*, *Salmonella*, *Bordetella*, *Clostridium*, *Helicobacter*, *Campylobacter*, *Legionella* and *Neisseria*.
21. A pharmaceutical composition comprising, as an active ingredient, a compound according to any of the preceding claims or a pharmaceutically acceptable salt thereof
10 together with a pharmaceutically acceptable carrier or diluent.
22. A pharmaceutical composition according to claim 21 comprising a second active ingredient having antibacterial activity.
- 15 23. A pharmaceutical composition according to any of claims 21 and 22 in unit dosage form, comprising from about 1 µg to about 1000 mg such as, e.g., from about 10 µg to about 500 mg, from about 0.05 to about 100 mg or from about 0.1 to about 50 mg of the compound according to claim 1 or a pharmaceutically acceptable salt or ester thereof.
- 20 24. A pharmaceutical composition for treatment of infections, the composition comprising, as an active ingredient, a compound according to any of claims 1-20 or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.
- 25 25. A pharmaceutical composition according to claim 24 for the treatment of bacterial infections fully or partly caused by an organism belonging to any of the genera *Staphylococcus*, *Enterococcus*, *Streptococcus*, *Haemophilus*, *Moraxella*, *Escherichia*, *Mycobacteria*, *Mycoplasma*, *Pseudomonas*, *Chlamydia*, *Rickettsia*, *Klebsiella*, *Shigella*, *Salmonella*, *Bordetella*, *Clostridia*, *Helicobacter*, *Campylobacter*, *Legionella* and *Neisseria*.
- 30 26. A pharmaceutical composition according to any of claims 21-25, for oral, nasal, transdermal, pulmonal or parenteral administration.
- 35 27. A method for the treatment of ailments, the method comprising administering to a subject in need thereof an effective amount of a compound according to any of claims 1-20 or a pharmaceutically acceptable salt thereof, or of a composition according to any of claims 21-26.

28. A method according to claim 27, wherein the effective amount of the compound according to any of claims 1-20 or a pharmaceutically acceptable salt or ester thereof is in the range of from about 1 µg to about 1000 mg such as, e.g., from about 10 µg to about 500 mg, from about 0.05 to about 100 mg or from about 0.1 to about 50 mg per day.

29. Use of a compound according to any of claims 1-20 or a pharmaceutically acceptable salt thereof for the preparation of a medicament.

30. Use of a compound according to any of claims 1-20 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of bacterial infections.

31. Use of a compound according to any of claims 1-20 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of an infection fully or partly caused by an organism belonging to the group consisting of *Staphylococcus*, *Enterococcus*, *Streptococcus*, *Haemophilus*, *Moraxella*, *Escherichia*, *Mycobacteria*, *Mycoplasma*, *Pseudomonas*, *Chlamydia*, *Rickettsia*, *Klebsiella*, *Shigella*, *Salmonella*, *Bordetella*, *Clostridia*, *Helicobacter*, *Campylobacter*, *Legionella* and *Neisseria*.

32. Use of a compound according to any of claims 1-20 or a pharmaceutically acceptable salt thereof for the preparation of a medicament for treatment of an infection fully or partly caused by an organism belonging to the group consisting of *Staphylococcus aureus*, *Staphylococcus epidermidis*, *Enterococcus faecium*, *Enterococcus faecalis*, *Streptococcus pneumoniae*, *Haemophilus influenzae*, *Moraxella catarrhalis*, *Escherichia coli*, *Mycobacterium tuberculosis*, *Mycobacterium ranae*, *Mycoplasma pneumoniae*, *Pseudomonas aeruginosa*, *Chlamydia*, *Rickettsiae*, *Klebsiella pneumoniae*, *Shigella flexneri*, *Salmonella typhimurium*, *Bordetella pertussis*, *Clostridia perfringens*, *Helicobacter pylori*, *Campylobacter jejuni*, *Legionella pneumophila* and *Neisseria gonorrhoeae*.